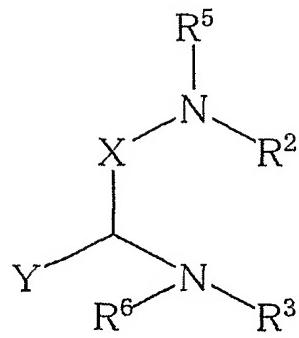


## C L A I M S

1. A compound of the formula (I) :



(I)

5 wherein

X is -CO- or -(CH<sub>2</sub>)<sub>k</sub>- (wherein k is 1, 2 or 3);

Y is

(1) lower alkyl, or

10 (2) Z-(CH<sub>2</sub>)<sub>n</sub>-,

{wherein

Z is

(1) aryl, or

(2) R<sup>1</sup>-CO-NR<sup>4</sup>-

15 (wherein

R<sup>1</sup> is (1) aryl, heterocyclyl,

aryl-(lower alkyl),

aryl-(lower alkoxy), or

heterocyclyl-(lower alkoxy),

each of which may be substituted

with one or more substituent(s)

selected from the group

consisting of

(a) lower alkyl,

(b) halogen and

(c) hydroxy; or

25

(2) lower alkoxy; and  
R<sup>4</sup> is hydrogen, or lower alkyl); and  
n is 1, 2, 3, 4, 5 or 6};

5 R<sup>2</sup> is (1) lower alkyl, aryl-(lower alkyl) or  
(lower alkyl)thio-(lower alkyl),  
each of which may be substituted with one  
or more substituent(s) selected from the  
group consisting of

10 (a) heterocyclyl,  
(b) carboxy,  
(c) carboxy-(lower alkyl),  
(d) amidated carboxy,  
(e) (lower alkoxy) carbonyl which may be  
15 substituted with cycloalkyl,  
heterocyclyl or (lower alkanoyl)oxy;  
and  
(f) cyano; or

(2) aryl which may be substituted with  
20 lower alkyl, lower alkenyl, aryl,  
lower alkoxy, (lower alkyl)amino,  
(lower alkyl)thio, carboxy,  
(lower alkoxy) carbonyl,  
(lower alkoxy)-(lower alkyl),  
25 (lower alkyl)amino-(lower alkyl), or  
(lower alkyl)thio-(lower alkyl),  
each of which may be further substituted with  
one or more substituent(s) selected from the  
group consisting of

30 (a) heterocyclyl,  
(b) (lower alkoxy) carbonyl,  
(c) carboxy and  
(d) amidated carboxy;

35 R<sup>3</sup> is (1) -Q-R<sup>7</sup>,

[wherein

Q is -CO- or -SO<sub>2</sub>-,

R<sup>7</sup> is (a) lower alkyl which may be substituted with one or more substituent(s) selected from the group consisting of

5 cycloalkyl,

aryl which may be further substituted with aryl(s), and

heterocyclyl,

10 (b) lower alkenyl which may be substituted with one or more substituent(s) selected from the group consisting of aryl and heterocyclyl,

(c) cycloalkyl,

15 (d) aryl which may be substituted with one or more substituent(s) selected from the group consisting of

lower alkyl,

aryl which may be further substituted with

20 hydroxy(s),

lower alkoxy,

aryloxy,

hydroxy, and

halogen,

25 (e) heterocyclyl which may be substituted with one or more substituent(s) selected from the group consisting of

lower alkyl,

aryl which may be further substituted with

30 halogen(s), and

halogen,

(f) aryloxy, or

(g) amino which may be substituted with aryl(s) which may be further substituted with one or more substituent(s) selected from the

35

group consisting of aryl and heterocyclyl];  
or

(2) lower alkyl which may be substituted with  
aryl(s) or heterocyclyl(s), each of which  
may be further substituted with aryl(s); and

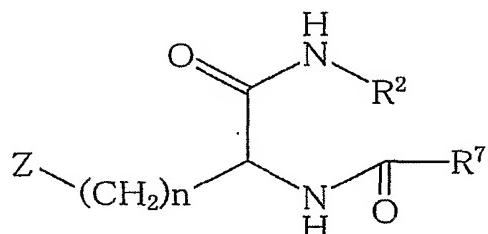
5

R<sup>5</sup> and R<sup>6</sup> are independently hydrogen or lower alkyl;  
or

10 R<sup>6</sup> and Y may be linked together to form -(CH<sub>2</sub>)<sub>m</sub>- (wherein  
m is 2, 3, 4 or 5);

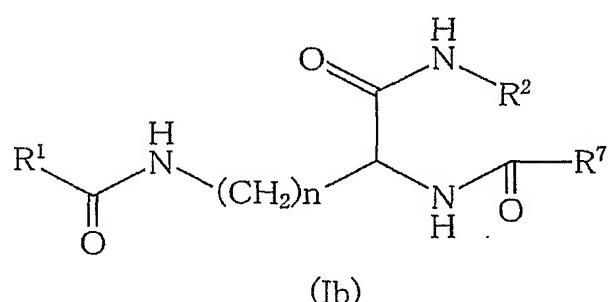
or a pharmaceutically acceptable salt thereof.

15 2. A compound of claim 1 having the formula (Ia):



wherein Z, R<sup>2</sup>, R<sup>7</sup> and n are as defined above.

3. A compound of claim 1 having the formula (Ib):



20

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and n are as defined above.

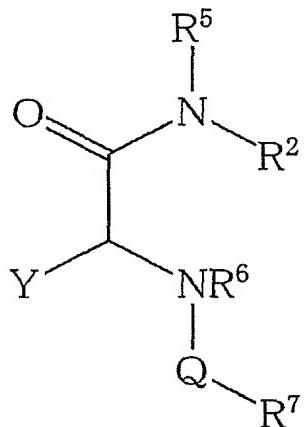
4. A compound of claim 3,  
wherein  
 $R^1$  is aryl-(lower alkoxy);  
 $R^2$  is lower alky, or  
5       aryl which may be substituted with  
          carboxy-(lower alkyl);  
 $R^7$  is heterocyclyl which may be substituted with  
          substituted with lower alkyl; and  
n is 1, 2, 3, 4 or 5.

10

5. A compound selected from:  
sodium 6-{(2S)-2-[ (1-benzofuran-2-yl-carbonyl)  
amino]-5-[benzyloxycarbonylamino]pentanoylamino}-  
hexanoate,  
15 (2E)-3-{2-[ (2S)-2-[ (1H-indol-2-ylcarbonyl)amino]-5  
- [benzyloxycarbonylamino]pentanoylamino]phenyl}-  
acrylic acid,  
(2E)-3-{2-[ (2S)-2-[ (1-methyl-1H-indol-2-yl-  
carbonyl)amino]-5-[benzyloxycarbonylamino]-  
20 pentanoylamino]phenyl}acrylic acid,  
3-{2-[ (2S)-2-[ (1-methyl-1H-indol-2-ylcarbonyl)-  
amino]-5-[benzyloxycarbonylamino]pentanoylamino]-  
phenyl}propanoic acid,  
sodium 3-{2-[ (2S)-2-[ (2-quinolinylcarbonyl)amino]-  
25 5-[benzyloxycarbonylamino]pentanoylamino]phenyl}-  
propanoate,  
6-[((2S)-2-[ (1-benzofuran-2-ylcarbonyl)amino]-5-  
{[(benzyloxy) carbonyl]amino}pentanoyl)amino]-2-  
naphthoic acid,  
30 3-{2-[ ((2S)-5-{[(benzyloxy) carbonyl]amino}-2-{[(8-  
methyimidazo[1, 2-a]pyridin-2-yl) carbonyl]amino}-  
pentanoyl)amino]phenyl}propanoic acid,  
3-[2-({(2S)-5-{[(benzyloxy) carbonyl]amino}-2-  
[(2-quinolinylmethyl)amino]pentanoyl)amino}-  
35 phenyl]propanoic acid, and

3-[2-[(2S)-5-{[(benzyloxy)carbonyl]amino}-2-[(1H-indol-2-ylcarbonyl)amino]pentanoyl]amino]phenyl]-propanoic acid.

5 6. A process for preparing the compound of the formula (Ia-1):



(Ia-1)

wherein

Y is

- 10 (1) lower alkyl, or  
 (2) Z-(CH<sub>2</sub>)<sub>n</sub>-,

{wherein

Z is

- (1) aryl, or

- 15 (2) R<sup>1</sup>-CO-NR<sup>4</sup>-

(wherein

R<sup>1</sup> is (1) aryl, heterocyclyl,  
 aryl-(lower alkyl),  
 aryl-(lower alkoxy), or  
 heterocyclyl-(lower alkoxy),  
 each of which may be substituted  
 with one or more substituent(s)  
 selected from the group  
 consisting of

(a) lower alkyl,

(b) halogen and

(c) hydroxy; or

(2) lower alkoxy; and

5 R<sup>4</sup> is hydrogen, or lower alkyl); and

n is 1, 2, 3, 4, 5 or 6};

Q is -CO- or -SO<sub>2</sub>-;

10 R<sup>2</sup> is (1) lower alkyl, aryl-(lower alkyl) or  
(lower alkyl)thio-(lower alkyl),  
each of which may be substituted with one  
or more substituent(s) selected from the  
group consisting of

15 (a) heterocyclyl,  
(b) carboxy,  
(c) carboxy-(lower alkyl),  
(d) amidated carboxy,  
(e) (lower alkoxy) carbonyl which may be  
20 substituted with cycloalkyl,  
heterocyclyl or (lower alkanoyl)oxy;  
and  
(f) cyano; or

25 (2) aryl which may be substituted with  
lower alkyl, lower alkenyl, aryl,  
lower alkoxy, (lower alkyl)amino,  
(lower alkyl)thio, carboxy,  
(lower alkoxy) carbonyl,  
(lower alkoxy)-(lower alkyl),  
30 (lower alkyl)amino-(lower alkyl), or  
(lower alkyl)thio-(lower alkyl),  
each of which may be further substituted with  
one or more substituent(s) selected from the  
group consisting of

35 (a) heterocyclyl,

- (b) (lower alkoxy) carbonyl,
- (c) carboxy and
- (d) amidated carboxy;

5 R<sup>5</sup> and R<sup>6</sup> are independently hydrogen or lower alkyl;  
or

R<sup>6</sup> and Y may be linked together to form -(CH<sub>2</sub>)<sub>m</sub>- (wherein  
m is 2, 3, 4 or 5); and

10

R<sup>7</sup> is (a) lower alkyl which may be substituted with  
one or more substituent(s) selected from the  
group consisting of  
cycloalkyl,

15

aryl which may be further substituted with  
aryl(s), and  
heterocyclyl,

20

(b) lower alkenyl which may be substituted with  
one or more substituent(s) selected from the  
group consisting of aryl and heterocyclyl,

25

(c) cycloalkyl,

(d) aryl which may be substituted with one or  
more substituent(s) selected from the group  
consisting of

30

lower alkyl,

aryl which may be further substituted with  
hydroxy(s),

lower alkoxy,

aryloxy,

hydroxy, and

halogen,

35

(e) heterocyclyl which may be substituted with  
one or more substituent(s) selected from the  
group consisting of

lower alkyl,

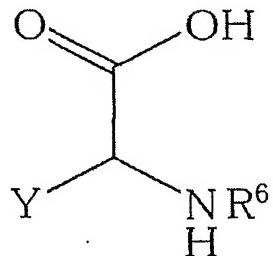
aryl which may be further substituted with halogen(s), and halogen,

(f) aryloxy, or

5 (g) amino which may be substituted with aryl(s) which may be substituted with one or more substituent(s) selected from the group consisting of aryl and heterocyclyl];

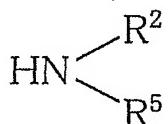
10 or a pharmaceutically acceptable salt thereof,

comprising, reacting a compound (IIa):



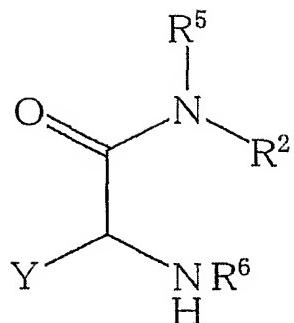
(IIa)

15 (wherein Y and R<sup>6</sup> are each as defined above), or its reactive derivative at the carboxy group or the salt thereof, with a compound (IIIa):



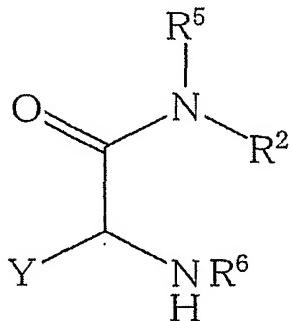
(IIIa)

20 (wherein R<sup>2</sup> and R<sup>5</sup> are each as defined above), or its reactive derivative at the amino group or the salt thereof to give a compound (IVa):



(IVa)

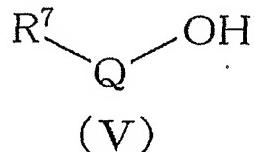
(wherein Y, R<sup>2</sup>, R<sup>5</sup> and R<sup>6</sup> are each as defined above), or its salt; and reacting the compound (IVa):



(IVa)

5

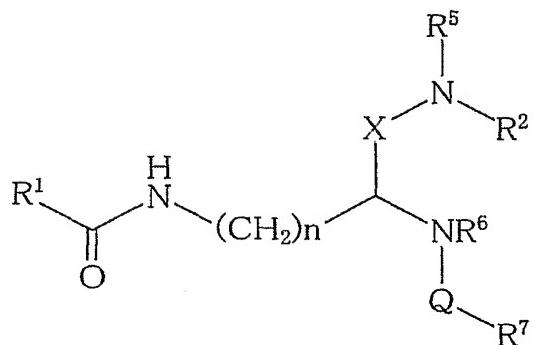
(wherein Y, R<sup>2</sup>, R<sup>5</sup> and R<sup>6</sup> are each as defined above), or its salt, with a compound (V):



(V)

(wherein Q and R<sup>7</sup> are each as defined above), or its reactive derivative at the carboxy group (in case of Q is -CO-) /the sulfo group (in case of Q is -SO<sub>2</sub>-), or the salt thereof.

7. A process for preparing the compound of the formula  
15 (Ib-1):



(I b-1)

wherein

X is -CO-, or -(CH<sub>2</sub>)<sub>k</sub>- (wherein k is 1, 2 or 3);5 Q is -CO- or -SO<sub>2</sub>-;

R<sup>1</sup> is (1) aryl, heterocyclyl, aryl-(lower alkyl),  
 10 aryl-(lower alkoxy), or  
 heterocyclyl-(lower alkoxy),  
 each of which may be substituted with one  
 or more substituent(s) selected from the  
 group consisting of

- (a) lower alkyl,
- (b) halogen and

15 (c) hydroxy; or

(2) lower alkoxy; and

R<sup>2</sup> is (1) lower alkyl, aryl-(lower alkyl) or  
 (lower alkyl)thio-(lower alkyl),

20 each of which may be substituted with one  
 or more substituent(s) selected from the  
 group consisting of

- (a) heterocyclyl,

(b) carboxy,

25 (c) carboxy-(lower alkyl),

(d) amidated carboxy,

(e) (lower alkoxy)carbonyl which may be substituted with cycloalkyl, heterocyclyl or (lower alkanoyl)oxygen; and

5 (f) cyano; or

(2) aryl which may be substituted with lower alkyl, lower alkenyl, aryl, lower alkoxy, (lower alkyl)amino, (lower alkyl)thio, carboxy, (lower alkoxy)carbonyl, (lower alkoxy)-(lower alkyl), (lower alkyl)amino-(lower alkyl), or (lower alkyl)thio-(lower alkyl), each of which may be further substituted with one or more substituent(s) selected from the group consisting of  
10 (a) heterocyclyl,  
15 (b) (lower alkoxy)carbonyl,  
(c) carboxy and  
20 (d) amidated carboxy;

R<sup>5</sup> and R<sup>6</sup> are independently hydrogen or lower alkyl; or

25 R<sup>6</sup> and Y may be linked together to form -(CH<sub>2</sub>)<sub>m</sub>- (wherein m is 2, 3, 4 or 5);

30 R<sup>7</sup> is (a) lower alkyl which may be substituted with one or more substituent(s) selected from the group consisting of

cycloalkyl,

aryl which may be further substituted with aryl(s), and heterocyclyl,

35 (b) lower alkenyl which may be substituted with

one or more substituent(s) selected from the group consisting of aryl and heterocyclyl,

5 (c) cycloalkyl,

(d) aryl which may be substituted with one or more substituent(s) selected from the group consisting of

lower alkyl,

aryl which may be further substituted with hydroxy(s),

10 lower alkoxy,

aryloxy,

hydroxy, and

halogen,

(e) heterocyclyl which may be substituted with one or more substituent(s) selected from the group consisting of

lower alkyl,

aryl which may be further substituted with halogen(s), and

20 halogen,

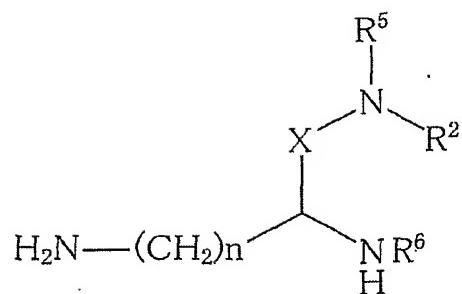
(f) aryloxy, or

(g) amino which may be substituted with aryl(s) which may be substituted with one or more substituent(s) selected from the group consisting of aryl and heterocyclyl]; and

25 n is 1, 2, 3, 4, 5 or 6;

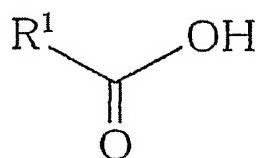
30 or a pharmaceutically acceptable salt thereof,

comprising, reacting a compound (IIb):



(IIb)

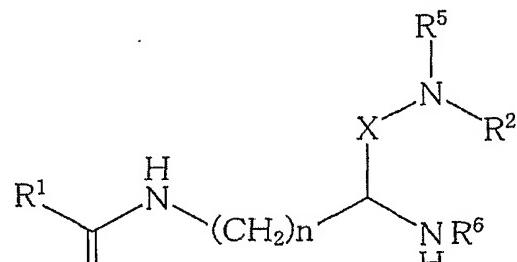
(wherein X, R<sup>2</sup>, R<sup>5</sup>, R<sup>6</sup> and n are each as defined above), or its reactive derivative at the amino group or the salt thereof, with a compound (IIIB):



(IIIb)

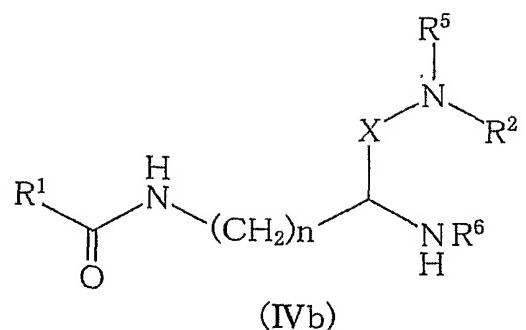
5

(wherein R<sup>1</sup> is as defined above), or its reactive derivative at the carboxy group or the salt thereof to give a compound (IVb):

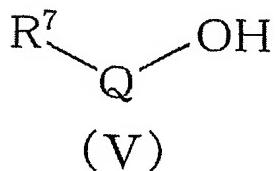


(IVb)

10 (wherein X, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, R<sup>6</sup>, n and are as defined above), or its salt; and reacting the compound (IVb):

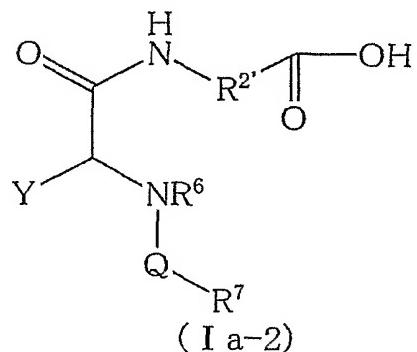


(wherein X, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, R<sup>6</sup> and n are as defined above), or its salt, with a compound (V):



- 5 (wherein Q and R<sup>7</sup> are as defined above), or its reactive derivative at the carboxy group (in case of Q is -CO-)/the sulfo group (in case of Q is -SO<sub>2</sub>-), or the salt thereof.

10 8. A process for preparing the compound of the formula (Ia-2):



wherein  
Y is

(1) lower alkyl, or

(2) Z-(CH<sub>2</sub>)<sub>n</sub>-,

{wherein

Z is

5 (1) aryl, or

(2) R<sup>1</sup>-CO-NR<sup>4</sup>-

{wherein

R<sup>1</sup> is (1) aryl, heterocyclyl,  
aryl-(lower alkyl),  
aryl-(lower alkoxy), or  
heterocyclyl-(lower alkoxy),  
each of which may be substituted  
with one or more substituent(s)  
selected from the group  
consisting of

(a) lower alkyl,

(b) halogen and

(c) hydroxy; or

(2) lower alkoxy; and

20 R<sup>4</sup> is hydrogen, or lower alkyl); and

n is 1, 2, 3, 4, 5 or 6};

Q is -CO- or -SO<sub>2</sub>-;

25 R<sup>2</sup>' is (1) lower alkyl, (lower alkyl)thio-(lower alkyl)  
or aryl-(lower alkyl); or

(2) aryl which may be substituted with  
lower alkyl, lower alkenyl, aryl,  
lower alkoxy, (lower alkyl)amino,  
(lower alkyl)thio,  
(lower alkoxy)-(lower alkyl),  
(lower alkyl)amino-(lower alkyl), or  
[(lower alkyl)thio]-(lower alkyl);

35 R<sup>6</sup> is hydrogen or lower alkyl; or

R<sup>6</sup> and Y may be linked together to form -(CH<sub>2</sub>)<sub>m</sub>- (m is 2, 3, 4 or 5);

5 R<sup>7</sup> is (a) lower alkyl which may be substituted with one or more substituent(s) selected from the group consisting of

cycloalkyl,

aryl which may be further substituted with aryl(s), and

heterocyclyl,

(b) lower alkenyl which may be substituted with one or more substituent(s) selected from the group consisting of aryl and heterocyclyl,

15 (c) cycloalkyl,

(d) aryl which may be substituted with one or more substituent(s) selected from the group consisting of

lower alkyl,

20 aryl which may be further substituted with hydroxy(s),

lower alkoxy,

aryloxy,

hydroxy, and

halogen,

25 (e) heterocyclyl which may be substituted with one or more substituent(s) selected from the group consisting of

lower alkyl,

30 aryl which may be further substituted with halogen(s), and

halogen,

(f) aryloxy, or

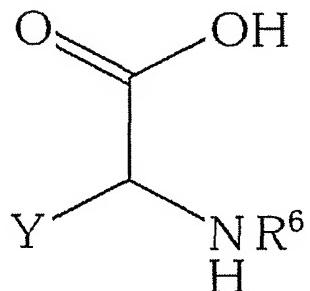
(g) amino which may be substituted with aryl(s) 35 which may be substituted with one or more

substituent(s) selected from the group consisting of aryl and heterocyclyl;

or a pharmaceutically acceptable salt thereof,

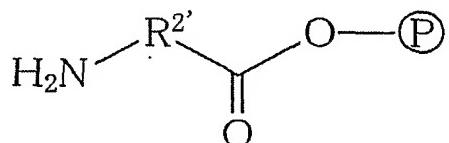
5

comprising, reacting a compound (IIa):



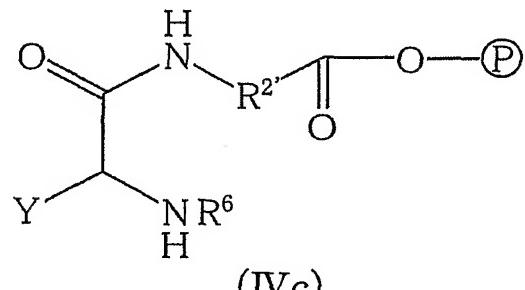
(IIa)

(wherein Y and R<sup>6</sup> are each as defined above), or its reactive derivative at the carboxy group or the salt thereof, with a resin-bound compound (IIIc):



(IIIc)

(wherein R<sup>2'</sup> is as defined above, and P is polymer), or its reactive derivative at the amino group or the salt thereof to give a compound (IVc):



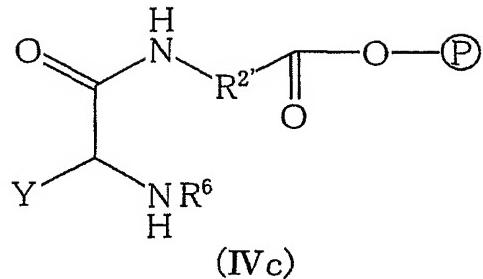
(IVc)

15

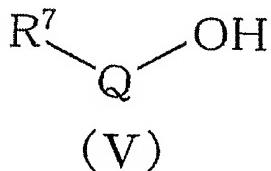
(wherein Y, P, R<sup>2'</sup> and R<sup>6</sup> are as defined above), or its

salt;

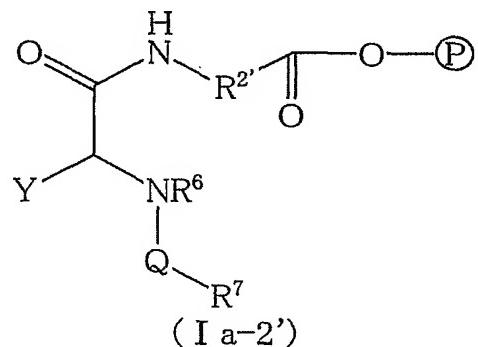
reacting the compound (IVc) :



5 (wherein Y, P, R<sup>2</sup>' and R<sup>6</sup> are as defined above), or its salt, with a compound (V) :



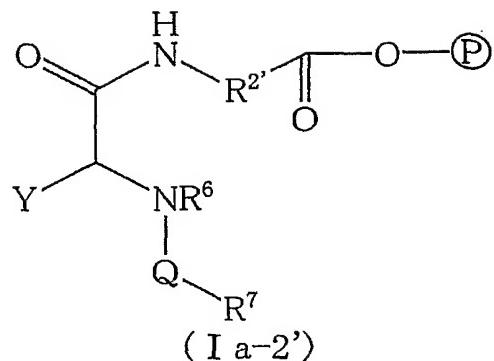
(wherein Q and R<sup>7</sup> are as defined above), or its reactive derivative at the carboxy group (in case of Q is -CO-) /the sulfo group (in case of Q is -SO<sub>2</sub>-), or the salt thereof to give a compound (Ia-2') :



(wherein Q, Y, P, R<sup>2</sup>', R<sup>6</sup>, and R<sup>7</sup> are as defined above), or its salt; and

15

subjecting the compound (Ia-2') :



(wherein Q, Y,  $\textcircled{P}$ ,  $\text{R}^{2\prime}$ ,  $\text{R}^6$ , and  $\text{R}^7$  are as defined above), or its salt to a cleavage reaction of the resin.

5 9. A compound of any one of Claims 1 to 5 for use as a medicament.

10. The compound of Claim 9 for use in the treatment and/or prevention of  $\text{PGE}_2$  mediated diseases in human beings or animals.

11. A medicament comprising a compound of any one of Claims 1 to 5 as an active ingredient.

15 12. A pharmaceutical composition comprising a compound of any one of Claims 1 to 5 as an active ingredient, in association with a pharmaceutically acceptable carrier or excipient.

20 13. An agonist or antagonist of  $\text{PGE}_2$  consisting of a compound of any one of Claims 1 to 5.

14. A method for treatment and/or prevention of  $\text{PGE}_2$  mediated diseases which comprises administering an effective amount of the compound of any one of Claims 25 1 to 5 to human beings or animals.

15. A method for treating or preventing kidney dysfunction, inflammatory conditions, various pains, collagen diseases, autoimmune diseases, various immunity diseases, analgesic, thrombosis, allergic  
5 disease, cancer or neurodegenerative diseases which comprises administering an effective amount of a compound of any one of Claims 1 to 5 to human beings or animals.

10 16. Use of a compound of any one of Claims 1 to 5 as a medicament.

17. Use of a compound of any one of Claims 1 to 5 as an agonist or an antagonist of PGE<sub>2</sub>-sensitive receptor.

15 18. Use of the compound of any one of Claims 1 to 5 for treatment and/or prevention of PGE<sub>2</sub> mediated diseases in human beings or animals.

20 19. A commercial package comprising the pharmaceutical composition containing the compound identified in any one of any one of Claims 1 to 5 and a written matter associated therewith, wherein the written matter states that the compound (I) can or  
25 should be used for preventing or treating PGE<sub>2</sub> mediated diseases.